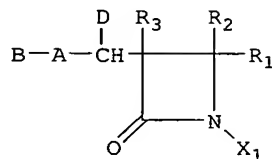


WHAT IS CLAIMED IS:

1. A compound of the structure



5

wherein:

D is H or OR^a

10

wherein R^a is H or alkyl;

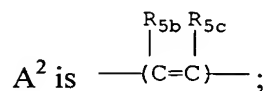
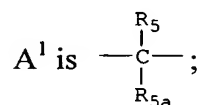
A is a linear string of A¹, A², A³, A⁴, A⁵, A⁶, A⁷ and/or A⁸, in any order, such that A¹ may occur in the string from 0 to 6 times;

15

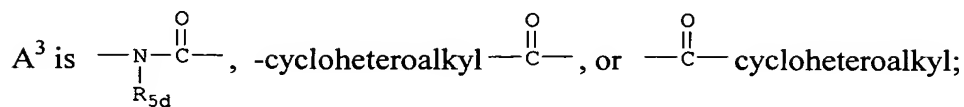
A² may occur in the string from 0 to 2 times;

A³, A⁴, A⁵, A⁶, A⁷ and/or A⁸ may each occur in the string 0 or 1 time, such that the total number of linear A groups is 0 to 6;

20



25



A⁴ is $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$;

A⁵ is cycloalkyl;

5 A⁶ is aryl;

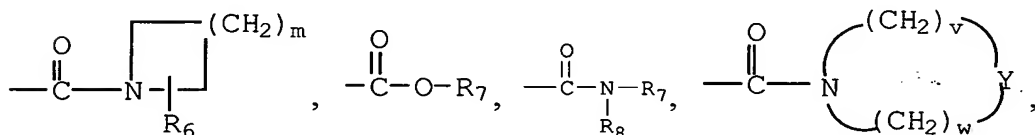
A⁷ is heteroaryl; and

10 A⁸ is cycloheteroalkyl,

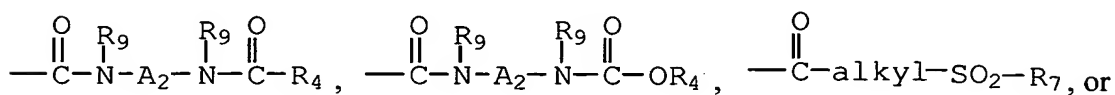
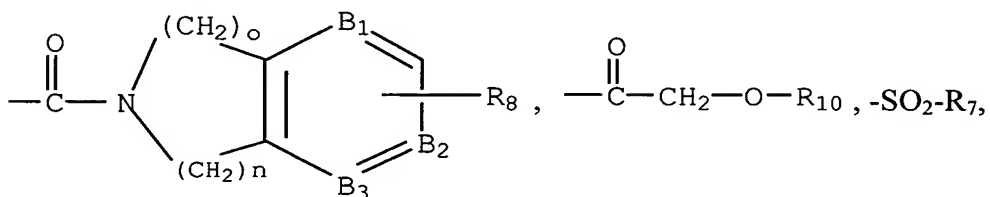
wherein R_{5a}, R_{5a}¹, R_{5b}, R_{5c}, and R_{5d} are the same or different and are independently selected from H, alkyl, aryl, arylalkyl halo or nitro;

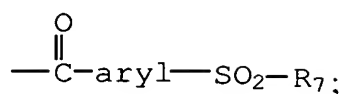
15 B is amino, aminoalkyl, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl, heteroaryl, alkylamino, carboxamido ($\text{—NH}_2\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$) or cycloalkyl;

R₁ is hydrogen, carboxy, alkoxycarbonyl, A₂-aryl, $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—R}_7$,

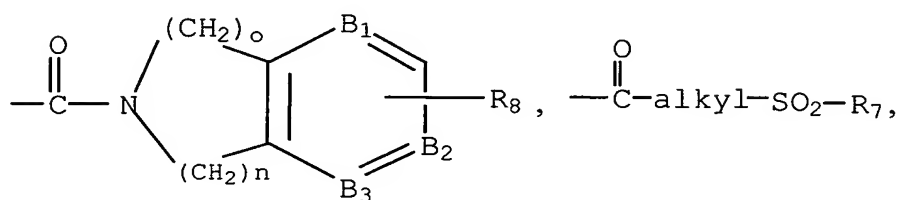
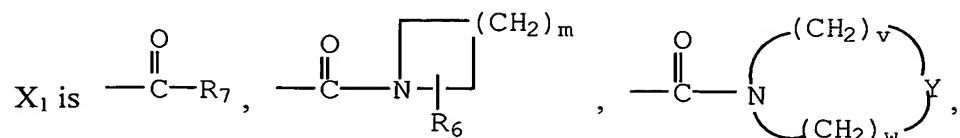


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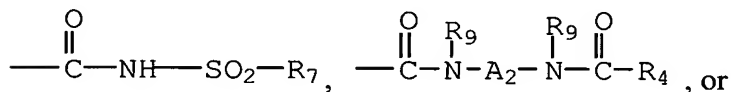
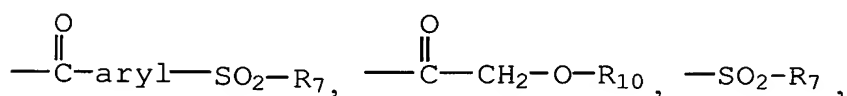




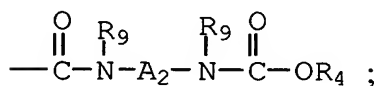
R_2 and R_3 are the same or different and are independently selected from
 5 hydrogen, or alkyl;



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R_4 and R_5 are the same or different and are independently selected from
 hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A_2 -cycloalkyl,
 A_2 -substituted cycloalkyl, aryl, substituted aryl, A_2 -aryl, A_2 -substituted aryl,
 20 heteroaryl, A_2 -heteroaryl, heterocycloalkyl, A_2 -heterocycloalkyl, aryl- A_3 -aryl, A_2 -aryl- A_3 -aryl,
 aryl- A_3 -cycloalkyl, A_2 -aryl- A_3 -cycloalkyl, aryl- A_3 -heteroaryl, A_2 -aryl- A_3 -
 heteroaryl, aryl- A_3 -heterocycloalkyl, A_2 -aryl- A_3 -heterocycloalkyl, aryl- A_3 -substituted
 aryl, A_2 -aryl- A_3 -substituted aryl, aryl- A_3 -substituted cycloalkyl, A_2 -aryl- A_3 -substituted

cycloalkyl, cycloalkyl- A₃-cycloalkyl, A₂-cycloalkyl-A₃-cycloalkyl, cycloalkyl-A₃-aryl, A₂-cycloalkyl-A₃-aryl, cycloalkyl-A₃-heteroaryl, A₂-cycloalkyl-A₃-heteroaryl, cycloalkyl-A₃-heterocycloalkyl, A₂-cycloalkyl-A₃-heterocycloalkyl, cycloalkyl-A₃-substituted cycloalkyl, A₂-cycloalkyl-A₃-substituted cycloalkyl, cycloalkyl-A₃-substituted aryl, A₂-cycloalkyl-A₃-substituted aryl, substituted cycloalkyl-A₃-cycloalkyl, A₂-substituted cycloalkyl-A₃-cycloalkyl, substituted cycloalkyl-A₃-substituted cycloalkyl, A₂-substituted cycloalkyl-A₃-substituted cycloalkyl, substituted cycloalkyl-A₃-aryl, A₂-substituted cycloalkyl-A₃-aryl, substituted cycloalkyl-A₃-heteroaryl, A₂-substituted cycloalkyl-A₃-heteroaryl, substituted cycloalkyl-A₃-heterocycloalkyl, A₂-substituted cycloalkyl-A₃-heterocycloalkyl, substituted cycloalkyl-A₃-substituted aryl, A₂-substituted cycloalkyl-A₃-substituted aryl, heteroaryl-A₃-heteroaryl, A₂-heteroaryl-A₃-heteroaryl, heteroaryl-A₃-cycloalkyl, A₂-heteroaryl-A₃-cycloalkyl, heteroaryl-A₃-substituted cycloalkyl, A₂-heteroaryl-A₃-substituted cycloalkyl, heteroaryl-A₃-aryl, A₂-heteroaryl-A₃-aryl, heteroaryl-A₃-heterocycloalkyl, A₂-heteroaryl-A₃-heterocycloalkyl, heteroaryl-A₃-substituted aryl, A₂-heteroaryl-A₃-substituted aryl, heterocycloalkyl-A₃-heterocycloalkyl, A₂-heterocycloalkyl-A₃-heterocycloalkyl, heterocycloalkyl-A₃-cycloalkyl, A₂-heterocycloalkyl-A₃-cycloalkyl, heterocycloalkyl-A₃-substituted cycloalkyl, A₂-heterocycloalkyl-A₃-substituted cycloalkyl, heterocycloalkyl-A₃-aryl, A₂-heterocycloalkyl-A₃-aryl, heterocycloalkyl-A₃-substituted aryl, A₂-heterocycloalkyl-A₃-substituted aryl, heterocycloalkyl-A₃-heteroaryl, A₂-heterocycloalkyl-A₃-heteroaryl, substituted aryl-A₃-substituted aryl, A₂-substituted aryl-A₃-substituted aryl, substituted aryl-A₃-cycloalkyl, A₂-substituted aryl-A₃-cycloalkyl, substituted aryl-A₃-substituted cycloalkyl, A₂-substituted aryl-A₃-substituted cycloalkyl, substituted aryl-A₃-aryl, A₂-substituted aryl-A₃-aryl, substituted aryl-A₃-heteroaryl, A₂-substituted aryl-A₃-heteroaryl, substituted aryl-A₃-heterocycloalkyl, and A₂-substituted aryl-A₃-heterocycloalkyl;

R₆ is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-

aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl, A₂-aryl-A₃-heterocycloalkyl, carboxy,

alkoxycarbonyl, aryloxy carbonyl, $\text{—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$, $\text{—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$, alkoxycarbonylamino,

aryloxy carbonylamino, arylcarbonylamino, -N(alkyl)(alkoxycarbonyl),

-N(alkyl)(aryloxy carbonyl), alkylcarbonylamino, -N(alkyl)(alkylcarbonyl), or

5 -N(alkyl)(arylcarbonyl);

m is an integer from 1 to 5;

Y is O, S, N-R₄, N-SO₂-R₇, $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—R}_7$, $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—O—R}_7$,

10

$\text{N—}\overset{\text{O}}{\parallel}\text{C—O—A}_3\text{—R}_7$, $\text{N}=\text{N}\begin{matrix} \text{N} \\ \text{HN} \end{matrix}$, $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}$ -R₄, $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$,

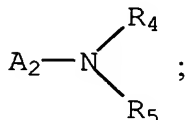
$\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$, $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—CH}_2\text{—O—R}_7$,

15

$\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—O—R}_7$, or $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{N} \\ \text{N} \end{matrix}\text{—}\overset{\text{O}}{\parallel}\text{C—}\overset{\text{O}}{\parallel}\text{C—R}_7$;

R₇ is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl, A₂-aryl-A₃-heterocycloalkyl, aryl-A₃-substituted aryl, A₂-aryl-A₃-substituted aryl, aryl-A₃-substituted cycloalkyl, A₂-aryl-A₃-substituted cycloalkyl, cycloalkyl-A₃-cycloalkyl, A₂-cycloalkyl-A₃-cycloalkyl, cycloalkyl-A₃-aryl, A₂-cycloalkyl-A₃-aryl, cycloalkyl-A₃-heteroaryl, A₂-cycloalkyl-

- A₃-heteroaryl, cycloalkyl-A₃-heterocycloalkyl, A₂-cycloalkyl-A₃-heterocycloalkyl,
 cycloalkyl-A₃-substituted cycloalkyl, A₂-cycloalkyl-A₃-substituted cycloalkyl,
 cycloalkyl-A₃-substituted aryl, A₂-cycloalkyl-A₃-substituted aryl, substituted
 cycloalkyl-A₃-cycloalkyl, A₂-substituted cycloalkyl-A₃-cycloalkyl, substituted
 5 cycloalkyl-A₃-substituted cycloalkyl, A₂-substituted cycloalkyl-A₃-substituted
 cycloalkyl, substituted cycloalkyl-A₃-aryl, A₂-substituted cycloalkyl-A₃-aryl,
 substituted cycloalkyl-A₃-heteroaryl, A₂-substituted cycloalkyl-A₃-heteroaryl,
 substituted cycloalkyl-A₃-heterocycloalkyl, A₂-substituted cycloalkyl-A₃-
 heterocycloalkyl, substituted cycloalkyl-A₃-substituted aryl, A₂-substituted cycloalkyl-
 10 A₃-substituted aryl, heteroaryl-A₃-heteroaryl, A₂-heteroaryl-A₃-heteroaryl, heteroaryl-
 A₃-cycloalkyl, A₂-heteroaryl-A₃-cycloalkyl, heteroaryl-A₃-substituted cycloalkyl, A₂-
 heteroaryl-A₃-substituted cycloalkyl, heteroaryl-A₃-aryl, A₂-heteroaryl-A₃-aryl,
 heteroaryl-A₃-heterocycloalkyl, A₂-heteroaryl-A₃-heterocycloalkyl, heteroaryl-A₃-
 substituted aryl, A₂-heteroaryl-A₃-substituted aryl, heterocycloalkyl-A₃-
 15 heterocycloalkyl, A₂-heterocycloalkyl-A₃-heterocycloalkyl, heterocycloalkyl-A₃-
 cycloalkyl, A₂-heterocycloalkyl-A₃-cycloalkyl, heterocycloalkyl-A₃-substituted
 cycloalkyl, A₂-heterocycloalkyl-A₃-substituted cycloalkyl, heterocycloalkyl-A₃-aryl,
 A₂-heterocycloalkyl-A₃-aryl, heterocycloalkyl-A₃-substituted aryl, A₂-
 heterocycloalkyl-A₃-substituted aryl, heterocycloalkyl-A₃-heteroaryl, A₂-
 20 heterocycloalkyl-A₃-heteroaryl, substituted aryl-A₃-substituted aryl, A₂-substituted
 aryl-A₃-substituted aryl, substituted aryl-A₃-cycloalkyl, A₂-substituted aryl-A₃-
 cycloalkyl, substituted aryl-A₃-substituted cycloalkyl, A₂-substituted aryl-A₃-
 substituted cycloalkyl, substituted aryl-A₃-aryl, A₂-substituted aryl-A₃-aryl, substituted
 aryl-A₃-heteroaryl, A₂-substituted aryl-A₃-heteroaryl, substituted aryl-A₃-
 25 heterocycloalkyl, A₂-substituted aryl-A₃-heterocycloalkyl, $\text{—N} \begin{smallmatrix} \text{R}_4 \\ \text{R}_5 \end{smallmatrix}$, or



n and o are independently one or two provided that the sum of n plus o is two or three;

v and w are independently one, two, or three provided that the sum of v plus w is three, four, or five;

R₈ is hydrogen, halo, amino, -NH(lower alkyl), -N(lower alkyl)₂, nitro, alkyl, substituted alkyl, alkoxy, hydroxy, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl, or A₂-aryl-A₃-heterocycloalkyl;

B₁, B₂ and B₃ are each CH, or two of B₁, B₂ and B₃ are CH and the other is N, or one of B₁, B₂ and B₃ is CH and the other two are N;

R₉ is hydrogen or lower alkyl;

R₁₀ is alkyl, substituted alkyl, alkyl-O-alkyl, alkyl-O-alkyl-O-alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl or A₂-aryl-A₃-heterocycloalkyl;

R₂₁ and R₂₂ are the same or different and are independently selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, A₂-aryl, and A₂-substituted aryl;

p is an integer from 2 to 6;

q is an integer from 1 to 6;

r is zero, 1, 2 or 3;

s is 1, 2 or 3;

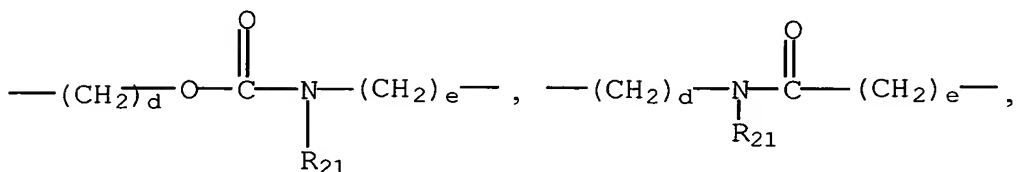
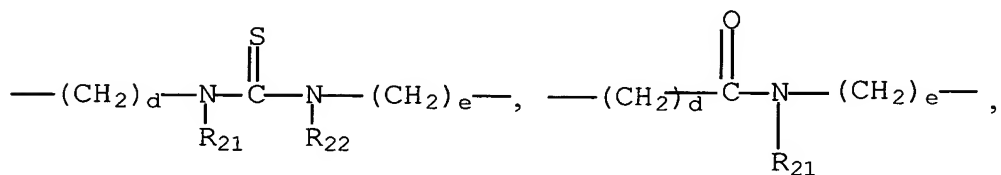
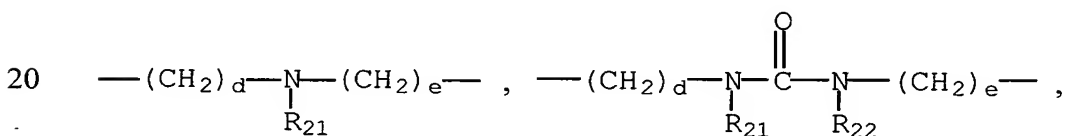
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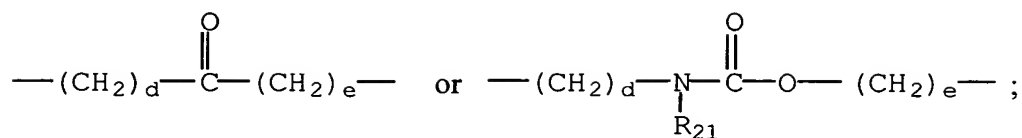
t is 1, 2, 3 or 4;

u is 1, 2 or 3;

10 A_2 is an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, or an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more triple bonds;

15 A_3 is a bond, an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more triple bonds, $-(CH_2)_d-O-(CH_2)_e-$, $-(CH_2)_d-S-(CH_2)_e-$,



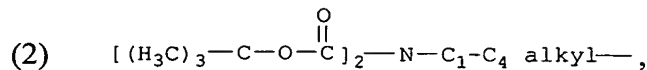
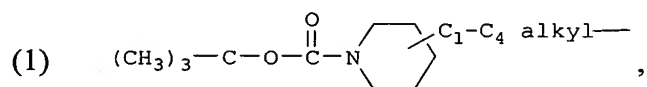


d and e are independently selected from zero and an integer from 1 to 10
 5 provided that the sum of d plus e is no greater than 10;

and an inner salt or a pharmaceutically acceptable salt thereof, a hydrolyzable ester thereof, or a solvate thereof, with the provisos that

10 (a) where R_1 is COOZ , where Z is $(\text{t}-\text{C}_4\text{H}_9\text{OC}-\overset{\text{O}}{\parallel})$ or $\text{C}_6\text{H}_5\text{CH}_2\text{OC}-\overset{\text{O}}{\parallel}$, and
 $-\text{A}-\overset{\text{D}}{\underset{\text{D}}{\text{C}}}-$ is $(\text{CH}_2)_q$, then B is other than amino or $\text{R}_{20}\text{-NH-}$ where R_{20} is alkyl, cycloalkyl, A_2 -cycloalkyl or A_2 -aryl;

(b) where R_1 is $\text{C}_6\text{H}_5\text{CH}_2\text{OC}-\overset{\text{O}}{\parallel}$, X_1 is $-\overset{\text{O}}{\parallel}\text{C}-\text{N} \begin{array}{c} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{array} \text{N}-\overset{\text{O}}{\parallel}\text{C}-\text{O}-\text{C}_5 \text{ to } \text{C}_9 \text{ alkyl},$
 15 and $-\text{A}-\overset{\text{D}}{\underset{\text{D}}{\text{C}}}-$ is other than



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(3) amino $\text{C}_1\text{-C}_5$ alkyl,

(4) $\text{C}_1\text{-C}_4$ alkylamino $\text{C}_1\text{-C}_5$ alkyl, or

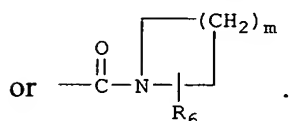
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(5) piperidyl.

2. The compound as defined in Claim 1 wherein R_3 and R_2 are each H.

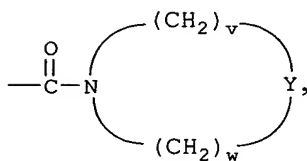
3. The compound as defined in Claim 1 wherein R_1 is carboxy or
5 arylalkoxycarbonyl.

4. The compound as defined in Claim 1 wherein R_1 is carboxy, $\text{—}\overset{\text{O}}{\parallel}\text{C—OR}_7$,



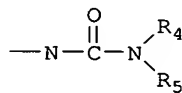
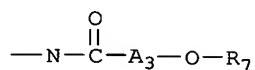
10 5. The compound as defined in Claim 4 wherein R_7 is substituted alkyl,
 R_6 is substituted alkyl and m is 2.

6. The compound as defined in Claim 1 wherein X_1 is $\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$ or

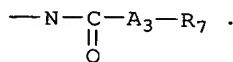
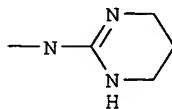


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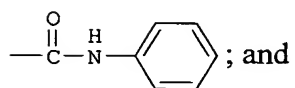
where Y is



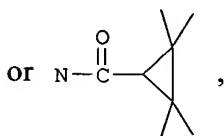
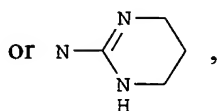
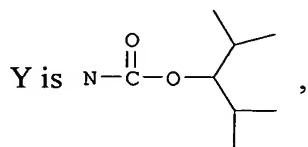
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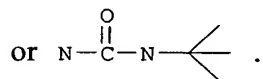
7. The compound as defined in Claim 6 wherein $\text{—}\overset{\text{O}}{\overset{\parallel}{\text{C}}}\text{—R}_7$ is



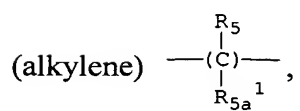
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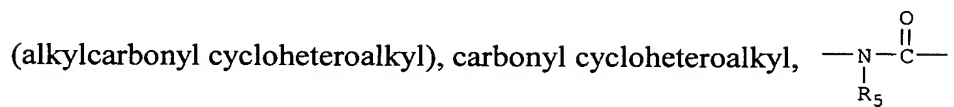
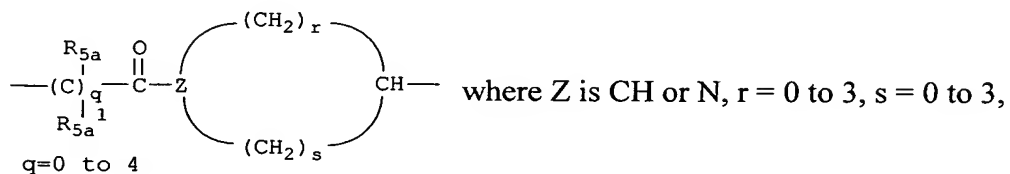
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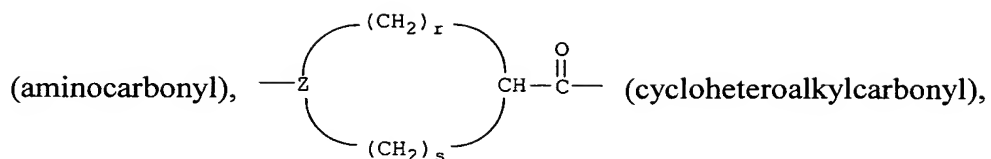


8. The compound as defined in Claim 1 wherein A is a bond, heteroaryl,



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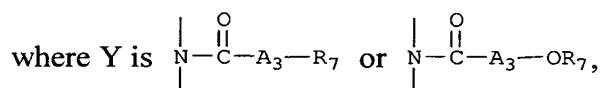
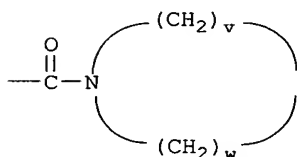




9. The compound as defined in Claim 1 wherein B is heteroaryl,
5 cycloheteroaryl, alkylcycloheteroalkyl, amino, alkylamino, dialkylamino or aminoalkyl.

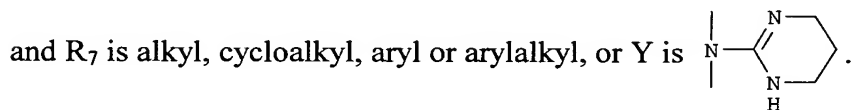
10. The compound as defined in Claim 1 wherein ---CH---  is $\text{---CH}_2\text{---}$ .

- 10 11. The compound as defined in Claim 1 wherein X_1 is



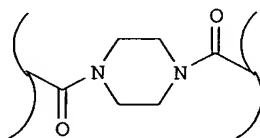
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wherein A_3 is a bond,

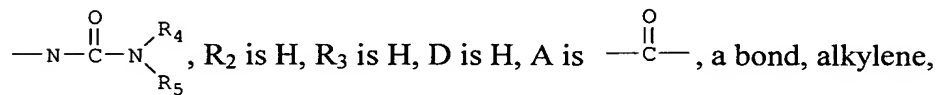
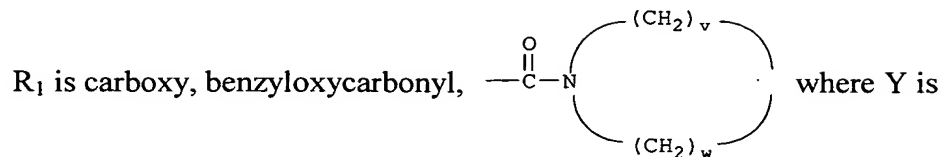


12. The compound as defined in Claim 10 where X_1 includes the moiety

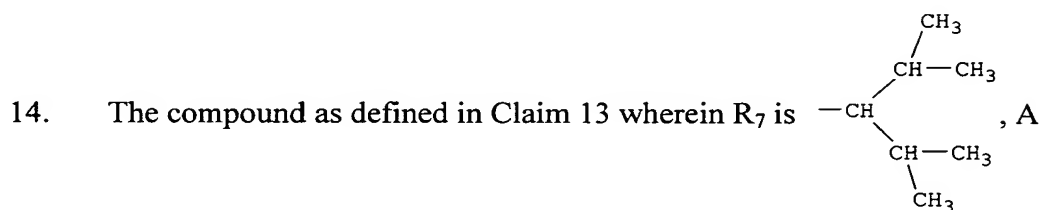
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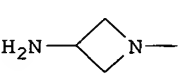



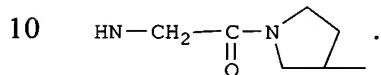
13. The compound as defined in Claim 1 wherein



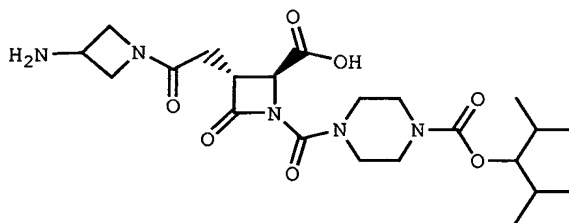
5 $-\text{cycloheteroalkyl}-\overset{\text{O}}{\parallel}\text{C}-$, or heteroaryl, and B is amino, cycloheteroalkyl, or heteroaryl.



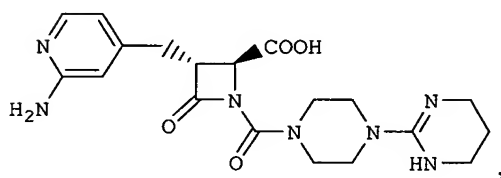
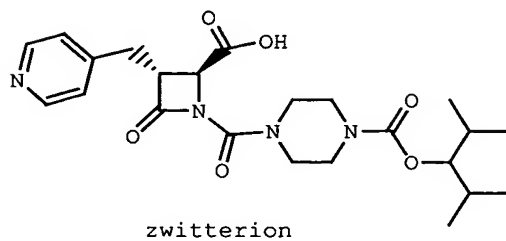
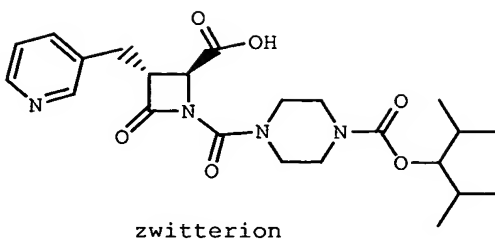
is pyridyl, B is $\text{H}_2\text{N}-$ , Z_1-N  where Z_1 is H, NH_2CO or alkyl, or B is



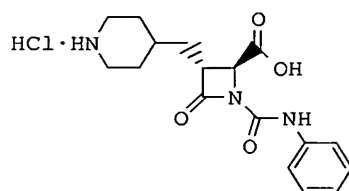
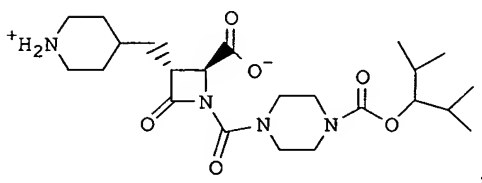
15. The compound as defined in Claim 1 having the structure

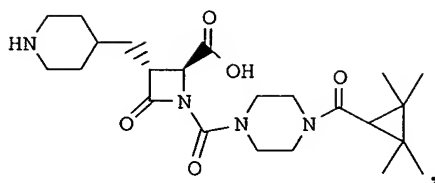


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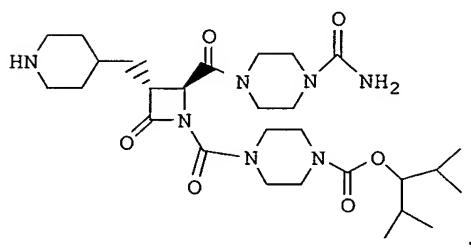
or its mono HCl, a monoTFA salt,



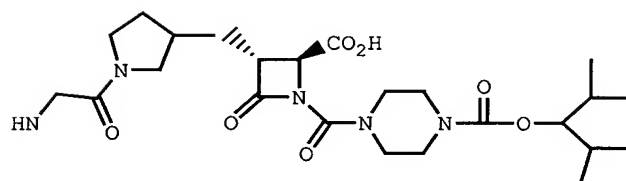


or its TFA salt,

5

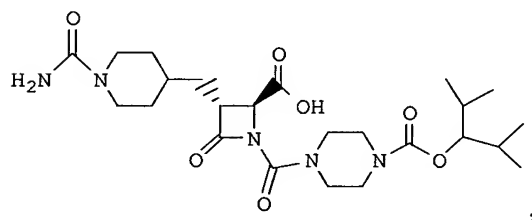


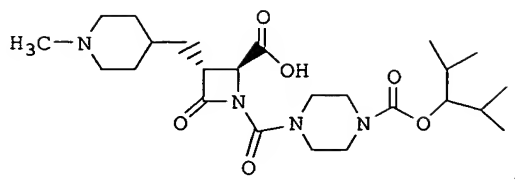
or its TFA salt,



10

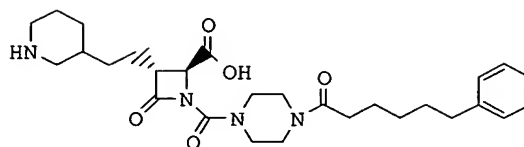
or its HCl salt,





or its TFA salt, or

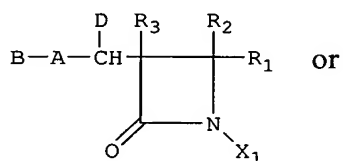
5



or its TFA salt.

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16. A compound of the formula

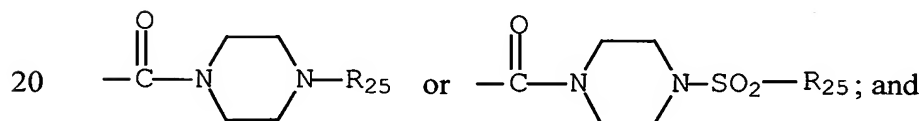
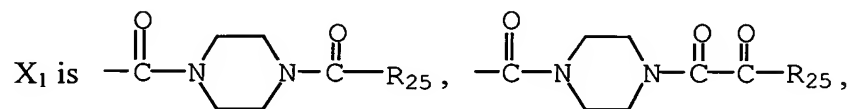


including an inner salt thereof, or a pharmaceutically acceptable salt thereof, or a

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hydrolyzable ester thereof, or a solvate thereof wherein:

B, A, D, R₁, R₂ and R₃ are as defined in Claim 1;

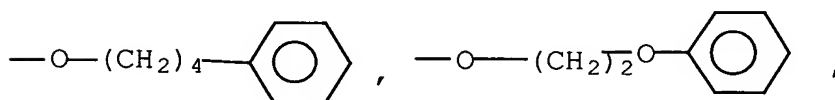
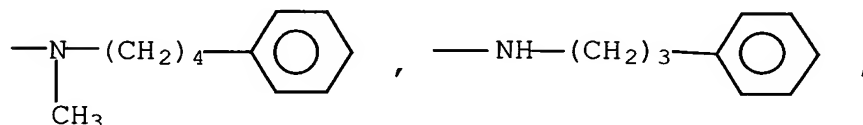
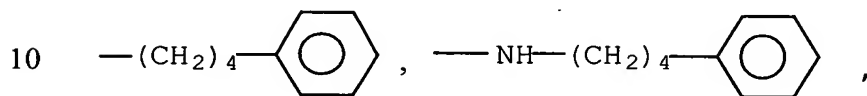
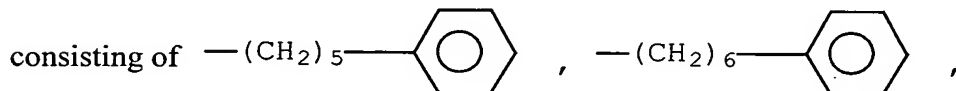


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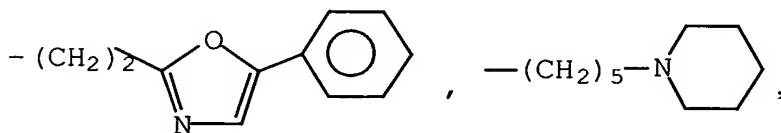
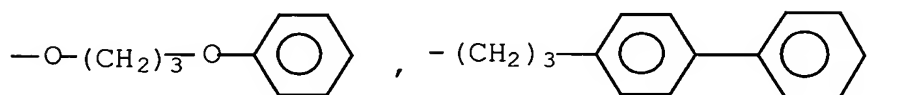
R_{25} is a spacer terminating in a lipophilic group wherein said spacer comprises groups of 3 or more atoms or groups of 2 or more atoms and a phenylene, substituted phenylene, cycloalkylene, heteroarylene, or heterocycloalkylene ring and said lipophilic terminating group is aryl, substituted aryl, cycloalkyl, heteroaryl, or heterocycloalkyl.

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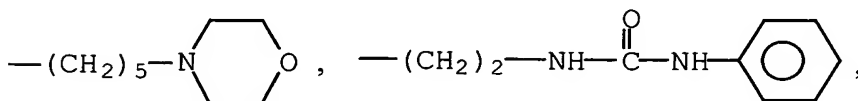
17. A compound of Claim 16 wherein R_{25} is selected from the group

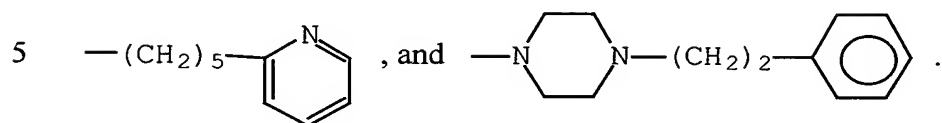
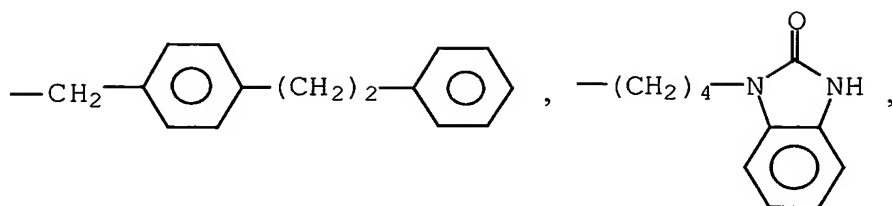
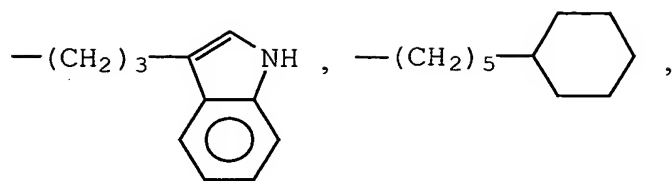


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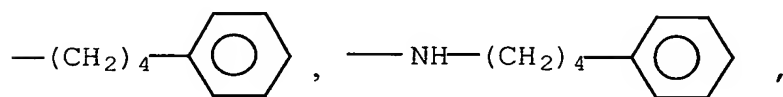




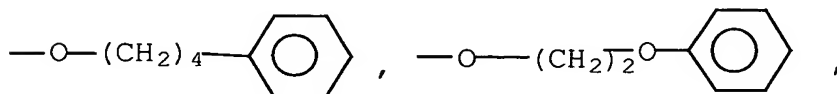
18. A compound of Claim 17 wherein R_{25} is selected from the group

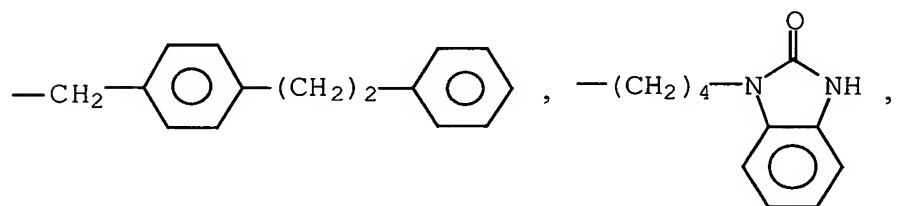
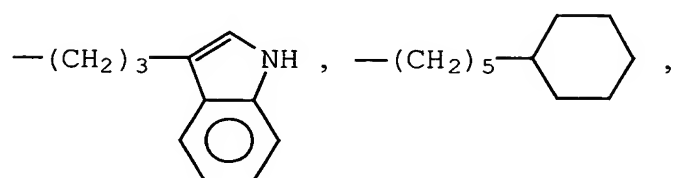
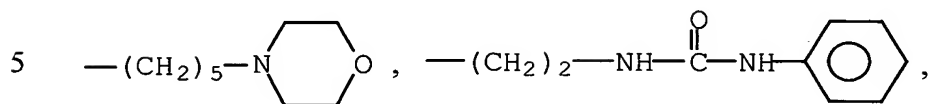
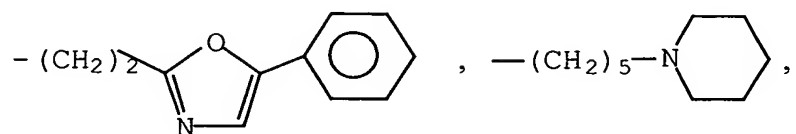
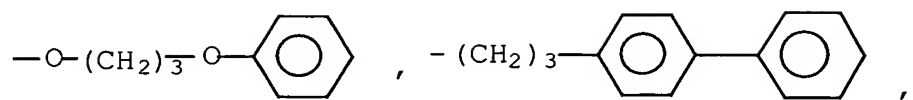


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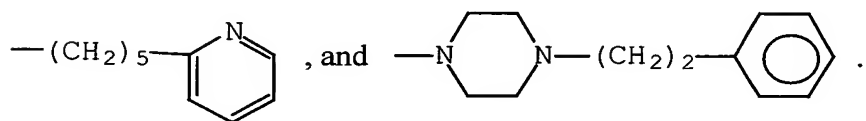


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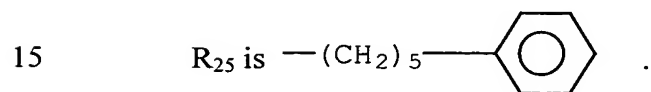




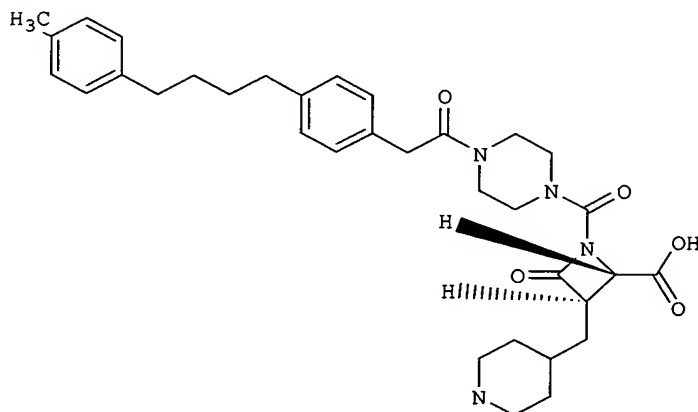
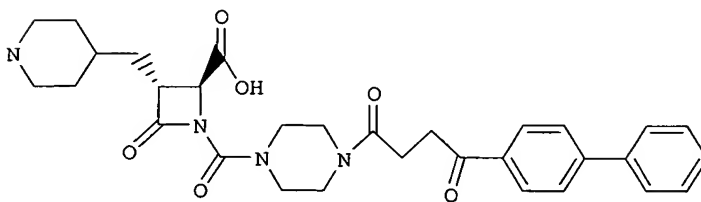
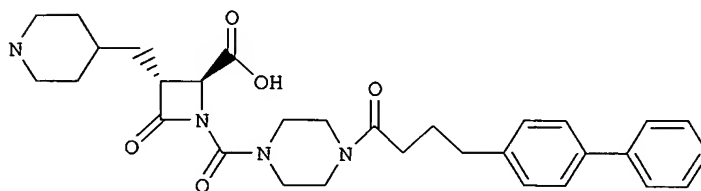
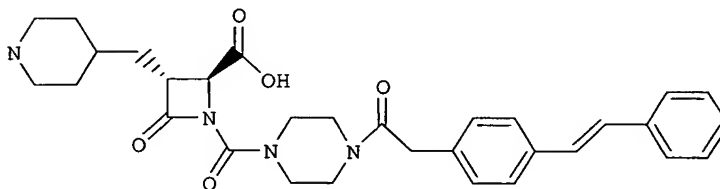
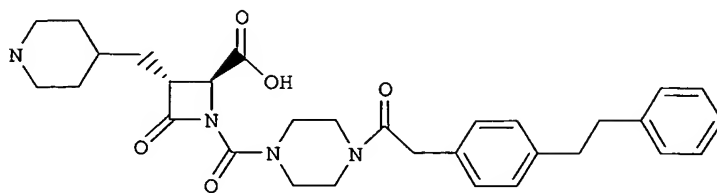
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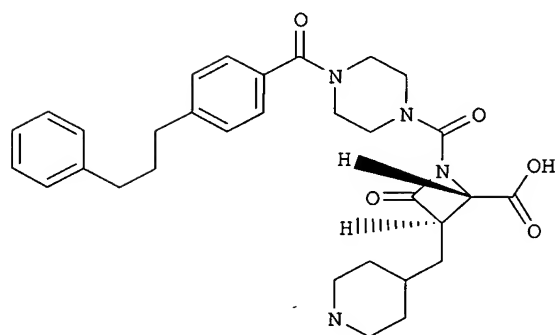
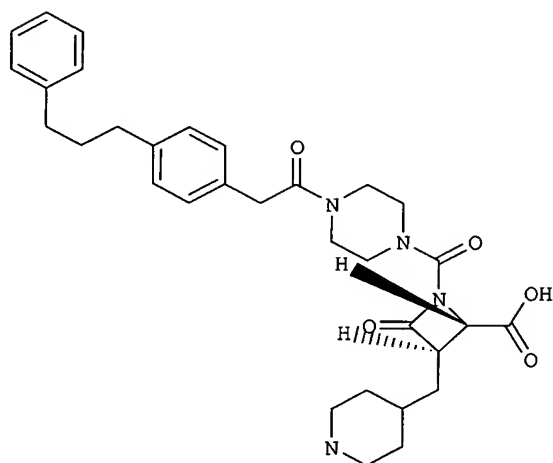
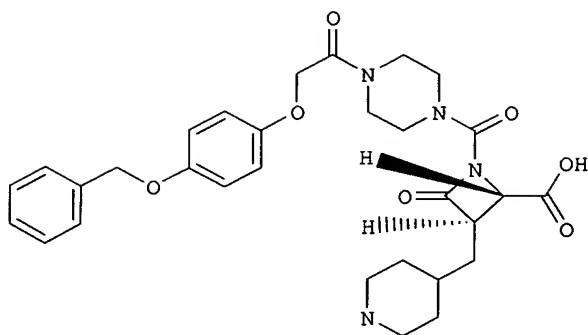


19. A compound of Claim 17 wherein

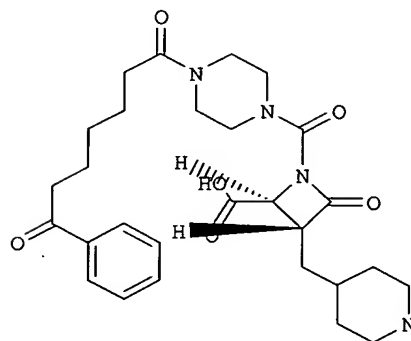


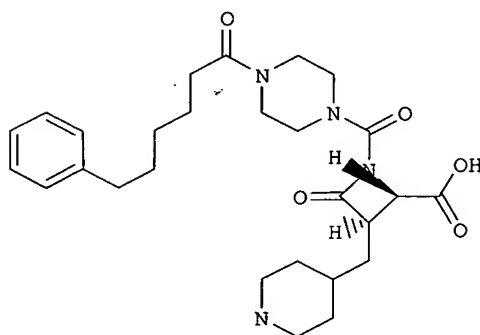
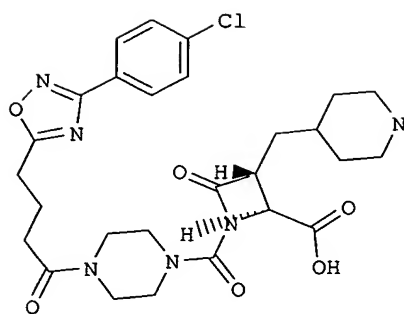
20. The compound as defined in Claim 16 having the following structure:



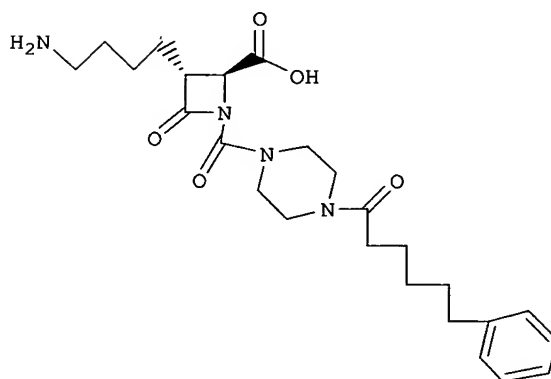


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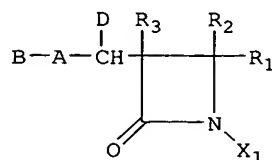


21. A pharmaceutical composition comprising a compound as defined in Claim 1 and a pharmaceutically acceptable carrier therefor.

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22. A method for treating and/or preventing medical conditions in a mammalian species related to tryptase, thrombin, trypsin, Factor Xa, Factor VIIa, or urokinase-type plasminogen activator and/or for treating and/or preventing asthma or

allergic rhinitis and/or for treating chronic asthma, which comprises administering a mammalian species a therapeutically effective amount of a compound of the structure



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wherein:

D is H or OR^a;

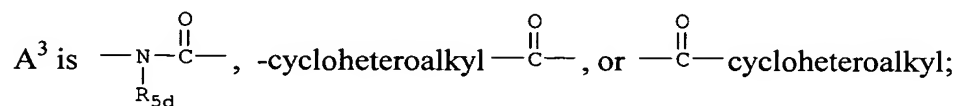
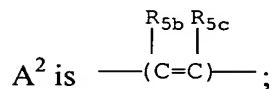
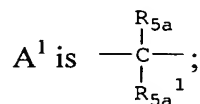
10 wherein R^a is H or alkyl;

A is a linear string of A¹, A², A³, A⁴, A⁵, A⁶, A⁷ and/or A⁸, in any order, such that A¹ may occur in the string from 0 to 6 times;

15 A² may occur in the string from 0 to 2 times;

A³, A⁴, A⁵, A⁶, A⁷ and/or A⁸ may each occur in the string 0 or 1 time, such that the total number of linear A groups is 0 to 6;

20



25

A⁴ is $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$;

A⁵ is cycloalkyl;

5 A⁶ is aryl;

A⁷ is heteroaryl; and

A⁸ is cycloheteroalkyl;

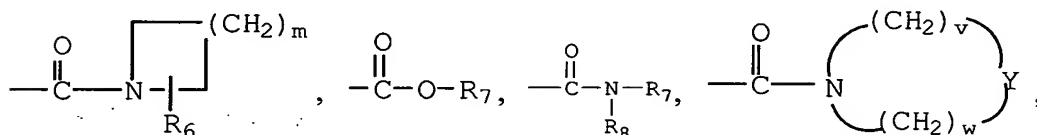
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wherein R_{5a}, R_{5a}¹, R_{5b}, R_{5c}, and R_{5d} are the same or different and are independently selected from H, alkyl, aryl, arylalkyl halo or nitro;

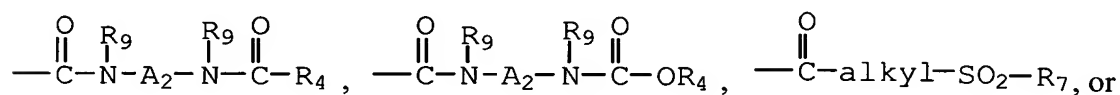
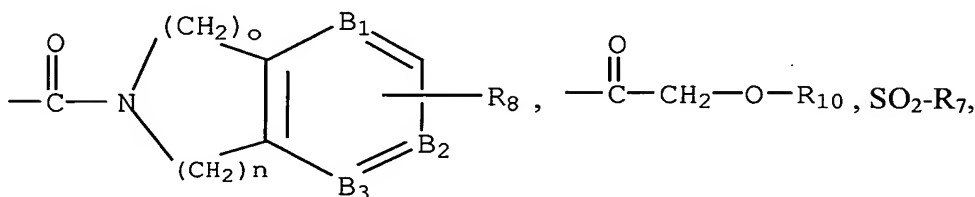
B is amino, aminoalkyl, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl,

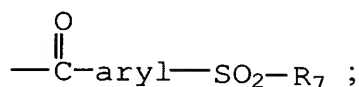
15 heteroaryl, alkylamino, carboxamido ($\text{—NH}_2\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$) or cycloalkyl;

R₁ is hydrogen, carboxy, alkoxycarbonyl, A₂-aryl, alkyl, $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—R}_7$,

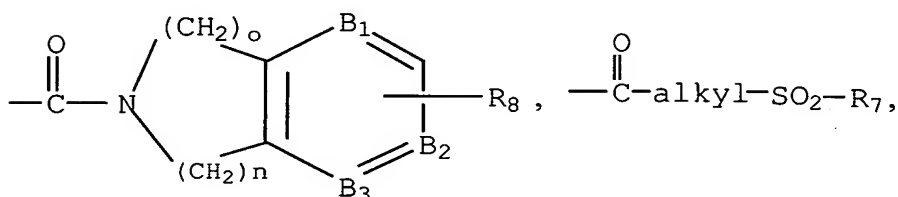
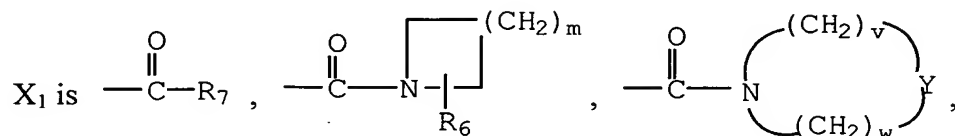


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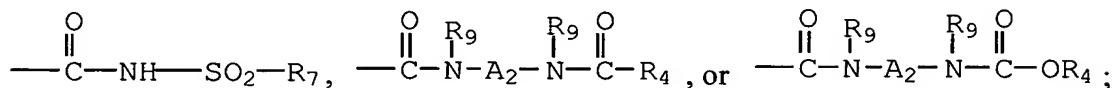
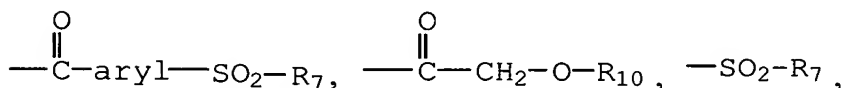




- 5 R_2 and R_3 are the same or different and are independently selected from hydrogen, or alkyl;



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- 15 R_4 and R_5 are the same or different and are independently selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A_2 -cycloalkyl, A_2 -substituted cycloalkyl, aryl, substituted aryl, A_2 -aryl, A_2 -substituted aryl, heteroaryl, A_2 -heteroaryl, heterocycloalkyl, A_2 -heterocycloalkyl, aryl- A_3 -aryl, A_2 -aryl- A_3 -aryl, aryl- A_3 -cycloalkyl, A_2 -aryl- A_3 -cycloalkyl, aryl- A_3 -heteroaryl, A_2 -aryl- A_3 -heteroaryl, aryl- A_3 -heterocycloalkyl, A_2 -aryl- A_3 -heterocycloalkyl, aryl- A_3 -substituted aryl, A_2 -aryl- A_3 -substituted aryl, aryl- A_3 -substituted cycloalkyl, A_2 -aryl- A_3 -substituted cycloalkyl, cycloalkyl- A_3 -cycloalkyl, A_2 -cycloalkyl- A_3 -cycloalkyl, cycloalkyl- A_3 -aryl, A_2 -cycloalkyl- A_3 -aryl, cycloalkyl- A_3 -heteroaryl, A_2 -cycloalkyl- A_3 -heteroaryl, cycloalkyl- A_3 -heterocycloalkyl, A_2 -cycloalkyl- A_3 -heterocycloalkyl, cycloalkyl- A_3 -
- 20

substituted cycloalkyl, A₂-cycloalkyl-A₃-substituted cycloalkyl, cycloalkyl-A₃-substituted aryl, A₂-cycloalkyl-A₃-substituted aryl, substituted cycloalkyl-A₃-cycloalkyl, A₂-substituted cycloalkyl-A₃-cycloalkyl, substituted cycloalkyl-A₃-substituted cycloalkyl, A₂-substituted cycloalkyl-A₃-substituted cycloalkyl, substituted cycloalkyl-A₃-aryl, A₂-substituted cycloalkyl-A₃-aryl, substituted cycloalkyl-A₃-heteroaryl, A₂-substituted cycloalkyl-A₃-heteroaryl, substituted cycloalkyl-A₃-heterocycloalkyl, A₂-substituted cycloalkyl-A₃-heterocycloalkyl, substituted cycloalkyl-A₃-substituted aryl, A₂-substituted cycloalkyl-A₃-substituted aryl, heteroaryl-A₃-heteroaryl, A₂-heteroaryl-A₃-heteroaryl, heteroaryl-A₃-cycloalkyl, A₂-heteroaryl-A₃-cycloalkyl, heteroaryl-A₃-substituted cycloalkyl, A₂-heteroaryl-A₃-substituted cycloalkyl, heteroaryl-A₃-aryl, A₂-heteroaryl-A₃-aryl, heteroaryl-A₃-heterocycloalkyl, A₂-heteroaryl-A₃-heterocycloalkyl, heteroaryl-A₃-substituted aryl, A₂-heteroaryl-A₃-substituted aryl, heterocycloalkyl-A₃-heterocycloalkyl, A₂-heterocycloalkyl-A₃-heterocycloalkyl, heterocycloalkyl-A₃-cycloalkyl, A₂-heterocycloalkyl-A₃-cycloalkyl, heterocycloalkyl-A₃-substituted cycloalkyl, A₂-heterocycloalkyl-A₃-substituted cycloalkyl, heterocycloalkyl-A₃-aryl, A₂-heterocycloalkyl-A₃-aryl, heterocycloalkyl-A₃-substituted aryl, A₂-heterocycloalkyl-A₃-substituted aryl, heterocycloalkyl-A₃-heteroaryl, A₂-heterocycloalkyl-A₃-heteroaryl, substituted aryl-A₃-substituted aryl, A₂-substituted aryl-A₃-substituted aryl, substituted aryl-A₃-cycloalkyl, A₂-substituted aryl-A₃-cycloalkyl, substituted aryl-A₃-substituted cycloalkyl, A₂-substituted aryl-A₃-substituted cycloalkyl, substituted aryl-A₃-aryl, A₂-substituted aryl-A₃-aryl, substituted aryl-A₃-heteroaryl, A₂-substituted aryl-A₃-heteroaryl, substituted aryl-A₃-heterocycloalkyl, and A₂-substituted aryl-A₃-heterocycloalkyl;

25

R₆ is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl, A₂-aryl-A₃-heterocycloalkyl, carboxy,

30

alkoxycarbonyl, aryloxycarbonyl, $\text{—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$, $\text{—N}\begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$, alkoxycarbonylamino, aryloxycarbonylamino, arylcarbonylamino, -N(alkyl)(alkoxycarbonyl), -N(alkyl)(aryloxycarbonyl), alkylcarbonylamino, -N(alkyl)(alkylcarbonyl), or -N(alkyl)(arylcarbonyl);

5

m is an integer from 1 to 5;

Y is O, S, N-R₄, N-SO₂-R₇, $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—R}_7$, $\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—O—R}_7$,

10 $\text{N—}\overset{\text{O}}{\parallel}\text{C—O—A}_3\text{—R}_7$, $\text{N—}\begin{matrix} \text{N} \\ \diagup \quad \diagdown \\ \text{HN} \end{matrix}$, $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—R}_4$, $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—R}_7$,

$\text{N—}\overset{\text{O}}{\parallel}\text{C—A}_3\text{—}\overset{\text{O}}{\parallel}\text{C—R}_7$, $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—CH}_2\text{—O—R}_7$,

$\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—O—R}_7$, or $\text{N—}\overset{\text{O}}{\parallel}\text{C—N}\begin{matrix} \diagup \quad \diagdown \\ \diagdown \quad \diagup \end{matrix}\text{N—}\overset{\text{O}}{\parallel}\text{C—}\overset{\text{O}}{\parallel}\text{C—R}_7$;

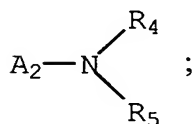
15

R₇ is hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl, A₂-aryl-A₃-heterocycloalkyl, aryl-A₃-substituted aryl, A₂-aryl-A₃-substituted aryl, aryl-A₃-substituted cycloalkyl, A₂-aryl-A₃-substituted cycloalkyl, cycloalkyl-A₃-cycloalkyl, A₂-cycloalkyl-A₃-cycloalkyl, cycloalkyl-A₃-aryl, A₂-cycloalkyl-A₃-aryl, cycloalkyl-A₃-heteroaryl, A₂-cycloalkyl-A₃-heteroaryl, cycloalkyl-A₃-heterocycloalkyl, A₂-cycloalkyl-A₃-heterocycloalkyl, cycloalkyl-A₃-substituted cycloalkyl, A₂-cycloalkyl-A₃-substituted cycloalkyl,

25

cycloalkyl-A₃-substituted aryl, A₂-cycloalkyl-A₃-substituted aryl, substituted
 cycloalkyl-A₃-cycloalkyl, A₂-substituted cycloalkyl-A₃-cycloalkyl, substituted
 cycloalkyl-A₃-substituted cycloalkyl, A₂-substituted cycloalkyl-A₃-substituted
 cycloalkyl, substituted cycloalkyl-A₃-aryl, A₂-substituted cycloalkyl-A₃-aryl,
 5 substituted cycloalkyl-A₃-heteroaryl, A₂-substituted cycloalkyl-A₃-heteroaryl,
 substituted cycloalkyl-A₃-heterocycloalkyl, A₂-substituted cycloalkyl-A₃-
 heterocycloalkyl, substituted cycloalkyl-A₃-substituted aryl, A₂-substituted cycloalkyl-
 A₃-substituted aryl, heteroaryl-A₃-heteroaryl, A₂-heteroaryl-A₃-heteroaryl, heteroaryl-
 A₃-cycloalkyl, A₂-heteroaryl-A₃-cycloalkyl, heteroaryl-A₃-substituted cycloalkyl, A₂-
 10 heteroaryl-A₃-substituted cycloalkyl, heteroaryl-A₃-aryl, A₂-heteroaryl-A₃-aryl,
 heteroaryl-A₃-heterocycloalkyl, A₂-heteroaryl-A₃-heterocycloalkyl, heteroaryl-A₃-
 substituted aryl, A₂-heteroaryl-A₃-substituted aryl, heterocycloalkyl-A₃-
 heterocycloalkyl, A₂-heterocycloalkyl-A₃-heterocycloalkyl, heterocycloalkyl-A₃-
 cycloalkyl, A₂-heterocycloalkyl-A₃-cycloalkyl, heterocycloalkyl-A₃-substituted
 15 cycloalkyl, A₂-heterocycloalkyl-A₃-substituted cycloalkyl, heterocycloalkyl-A₃-aryl,
 A₂-heterocycloalkyl-A₃-aryl, heterocycloalkyl-A₃-substituted aryl, A₂-
 heterocycloalkyl-A₃-substituted aryl, heterocycloalkyl-A₃-heteroaryl, A₂-
 heterocycloalkyl-A₃-heteroaryl, substituted aryl-A₃-substituted aryl, A₂-substituted
 aryl-A₃-substituted aryl, substituted aryl-A₃-cycloalkyl, A₂-substituted aryl-A₃-
 20 cycloalkyl, substituted aryl-A₃-substituted cycloalkyl, A₂-substituted aryl-A₃-
 substituted cycloalkyl, substituted aryl-A₃-aryl, A₂-substituted aryl-A₃-aryl, substituted
 aryl-A₃-heteroaryl, A₂-substituted aryl-A₃-heteroaryl, substituted aryl-A₃-

heterocycloalkyl, A₂-substituted aryl-A₃-heterocycloalkyl, $\text{—N} \begin{matrix} \text{R}_4 \\ \text{R}_5 \end{matrix}$, or



25

n and o are independently one or two provided that the sum of n plus o is two
 or three;

v and w are independently one, two, or three provided that the sum of v plus w is three, four, or five;

5 R_8 is hydrogen, halo, amino, -NH(lower alkyl), -N(lower alkyl)₂, nitro, alkyl, substituted alkyl, alkoxy, hydroxy, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl, or A₂-aryl-A₃-heterocycloalkyl;

10

B_1 , B_2 and B_3 are each CH, or two of B_1 , B_2 and B_3 are CH and the other is N, or one of B_1 , B_2 and B_3 is CH and the other two are N;

R_9 is hydrogen or lower alkyl;

15

R_{10} is alkyl, substituted alkyl, alkyl-O-alkyl, alkyl-O-alkyl-O-alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, aryl, substituted aryl, A₂-aryl, A₂-substituted aryl, aryl-A₃-aryl, A₂-aryl-A₃-aryl, heteroaryl, A₂-heteroaryl, heterocycloalkyl, A₂-heterocycloalkyl, aryl-A₃-cycloalkyl, A₂-aryl-A₃-cycloalkyl, aryl-A₃-heteroaryl, A₂-aryl-A₃-heteroaryl, aryl-A₃-heterocycloalkyl or A₂-aryl-A₃-heterocycloalkyl;

20

R_{21} and R_{22} are the same or different and are independently selected from hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, A₂-cycloalkyl, A₂-substituted cycloalkyl, A₂-aryl, and A₂-substituted aryl;

25

p is an integer from 2 to 6;

q is an integer from 1 to 6;

30

r is zero, 1 or 2;

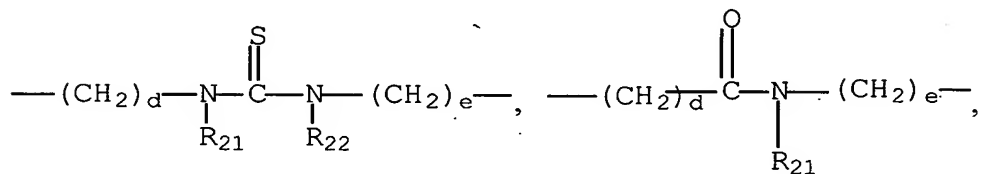
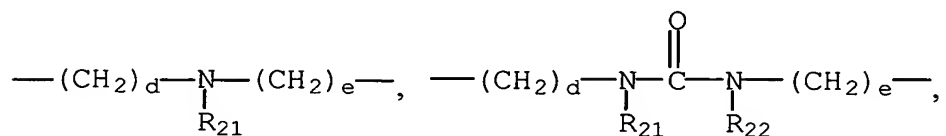
s is 1 or 2;

t is 1, 2, 3 or 4;

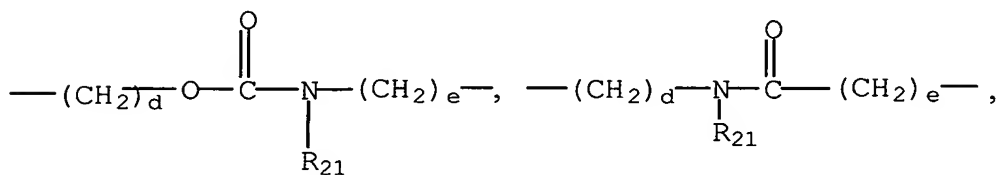
5 u is 1, 2 or 3;

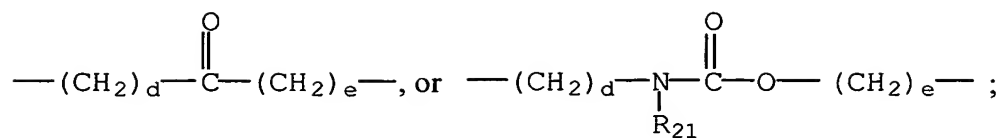
A_2 is an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, or an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more triple
10 bonds;

A_3 is a bond, an alkylene or a substituted alkylene bridge of 1 to 10 carbons, an alkenyl or substituted alkenyl bridge of 2 to 10 carbons having one or more double bonds, an alkynyl or substituted alkynyl bridge of 2 to 10 carbons having one or more
15 triple bonds, $-(CH_2)_d-O-(CH_2)_e-$, $-(CH_2)_d-S-(CH_2)_e-$,



20





d and e are independently selected from zero and an integer from 1 to 10 provided that the sum of d plus e is no greater than 10;

5

and an inner salt or a pharmaceutically acceptable salt thereof, a hydrolyzable ester thereof, or a solvate thereof;

23. The method as defined in Claim 22 for treating and/or preventing
10 asthma or allergic rhinitis.

24. The method for treating chronic asthma as defined in Claim 22 which comprises administering to a mammalian species by inhalation to the bronchioles an effective amount of said compound.